

```
chain nodes :
    13   14   15   28
ring nodes :
    1   2   3   4   5   6   7   8   9   10   11   12   16   17   18   19   20   21   29   30   31   32   33
chain bonds :
    6-13   7-13   13-14   14-15   15-16   17-28   28-29
ring bonds :
    1-2   1-6   2-3   3-4   4-5   5-6   7-8   7-12   8-9   9-10   10-11   11-12   16-20   16-19   17-18
    17-21   18-19   20-21   29-30   29-33   30-31   31-32   32-33
exact/norm bonds :
    15-16   16-20   16-19   17-18   17-21   17-28   18-19   20-21   28-29   29-30   29-33   30-31   31-32
    32-33
exact bonds :
    6-13   7-13   13-14   14-15
normalized bonds :
    1-2   1-6   2-3   3-4   4-5   5-6   7-8   7-12   8-9   9-10   10-11   11-12
```

Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:CLASS 14:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 28:CLASS 29:Atom 30:Atom 31:Atom 32:Atom 33:Atom

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssspta1611hxl

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

```
Welcome to STN International
NEWS
                 Web Page URLs for STN Seminar Schedule - N. America
NEWS
      2
                 "Ask CAS" for self-help around the clock
NEWS
         SEP 09
                 CA/CAplus records now contain indexing from 1907 to the
                 present
NEWS
         Jul 15
                 Data from 1960-1976 added to RDISCLOSURE
         Jul 21
NEWS
                 Identification of STN records implemented
NEWS
        Jul 21
                 Polymer class term count added to REGISTRY
      6
NEWS
        Jul 22
                 INPADOC: Basic index (/BI) enhanced; Simultaneous Left and
                 Right Truncation available
NEWS
      8
         AUG 05
                 New pricing for EUROPATFULL and PCTFULL effective
                 August 1, 2003
         AUG 13
      9
                 Field Availability (/FA) field enhanced in BEILSTEIN
NEWS
NEWS 10
         AUG 15
                 PATDPAFULL: one FREE connect hour, per account, in
                 September 2003
NEWS 11
        AUG 15
                 PCTGEN: one FREE connect hour, per account, in
                 September 2003
NEWS 12
        AUG 15
                 RDISCLOSURE: one FREE connect hour, per account, in
                 September 2003
NEWS 13
        AUG 15
                 TEMA: one FREE connect hour, per account, in
                 September 2003
NEWS 14
        AUG 18
                 Data available for download as a PDF in RDISCLOSURE
NEWS 15
        AUG 18
                 Simultaneous left and right truncation added to PASCAL
NEWS 16
        AUG 18
                 FROSTI and KOSMET enhanced with Simultaneous Left and Righ
                 Truncation
NEWS 17
        AUG 18
                 Simultaneous left and right truncation added to ANABSTR
NEWS 18
        SEP 22
                 DIPPR file reloaded
NEWS 19
        SEP 25
                 INPADOC: Legal Status data to be reloaded
NEWS 20
        SEP 29
                 DISSABS now available on STN
              April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT
NEWS EXPRESS
              MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
              AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
NEWS HOURS
              STN Operating Hours Plus Help Desk Availability
NEWS INTER
              General Internet Information
NEWS LOGIN
              Welcome Banner and News Items
NEWS PHONE
              Direct Dial and Telecommunication Network Access to STN
NEWS WWW
              CAS World Wide Web Site (general information)
```

Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific

research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 10:39:16 ON 30 SEP 2003

=> fil reg

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 10:39:24 ON 30 SEP 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 28 SEP 2003 HIGHEST RN 594810-89-6
DICTIONARY FILE UPDATES: 28 SEP 2003 HIGHEST RN 594810-89-6

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting ${\tt SmartSELECT}$ searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

Uploading 09955383.str

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 10:39:44 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 28 TO ITERATE

100.0% PROCESSED 28 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

09/30/2003 Print selected from Online session

PROJECTED ITERATIONS: 243 TO 877
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 ful

FULL SEARCH INITIATED 10:39:49 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 548 TO ITERATE

100.0% PROCESSED 548 ITERATIONS 37 ANSWERS

SEARCH TIME: 00.00.01

L3 37 SEA SSS FUL L1

=>

Uploading 09955383.str

L4 STRUCTURE UPLOADED

=> d 14

L4 HAS NO ANSWERS

L4 ST

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s 14

SAMPLE SEARCH INITIATED 10:41:07 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 909 TO ITERATE

100.0% PROCESSED 909 ITERATIONS

10 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

10 SEA SSS SAM L4

BATCH **COMPLETE**

PROJECTED ITERATIONS:
PROJECTED ANSWERS:

16372 TO 19988 11 TO 389

=> d scan

L5 10 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

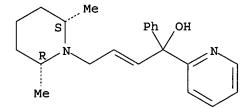
IN 2-Pyridinemethanol, .alpha.-[3-(2,6-dimethyl-1-piperidinyl)-1-propenyl]-

.alpha.-phenyl-, cis- (9CI)

MF C22 H28 N2 O

Relative stereochemistry.

Double bond geometry unknown.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

=> Uploading 09955383.str

L6 STRUCTURE UPLOADED

=> d 16

L6 HAS NO ANSWERS

L6 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s 16

SAMPLE SEARCH INITIATED 10:44:19 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 58 TO ITERATE

100.0% PROCESSED 58 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 704 TO 1616

PROJECTED ANSWERS: 0 TO (

L7 0 SEA SSS SAM L6

=> s 16 ful

FULL SEARCH INITIATED 10:44:25 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1163 TO ITERATE

100.0% PROCESSED 1163 ITERATIONS 3 ANSWERS

SEARCH TIME: 00.00.01

L8 3 SEA SSS FUL L6

=> d scan

L8 3 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

IN Piperidine, 1-[3-(4-chlorophenyl)-1-oxo-3-(2-pyridinyl)propyl]-4-(1H-

imidazol-4-ylmethyl)-, hydrochloride (9CI)

MF C23 H25 Cl N4 O . x Cl H

●x HCl

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):end

=> fil caplus COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 299.10 299.31

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 10:44:48 ON 30 SEP 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 30 Sep 2003 VOL 139 ISS 14 FILE LAST UPDATED: 29 Sep 2003 (20030929/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 18

L9 1 L8

=> d abs ibib hitstr 1-YOU HAVE REQUESTED DATA FROM 1 ANSWERS - CONTINUE? Y/(N):y

L9 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN GI

```
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *
```

Title compds. I [R1 and R2 may no. 1-4 and independently = H, alkyl, AB alkoxy, halo, OH, etc.; R3 = H, alkyl, alkoxy, OH, with provision when n and k are both 0, then R3 is not OH or alkoxy; R4 = H, alkyl, polyhaloalkyl, or OH; X and Y are independently = N, CH and N(O); M =moiety of general structure II or III where Z = -(CH2)n(CO)k where k =0-1, n = 0-5, and p = q = 0-2 with provision that when M = III, R3 is absent; V = alkyl, amidoalkyl, alkoxyalkyl, etc.] are prepd. and disclosed as dual histamine-H1 and H3 receptor antagonists. Thus, IV was prepd. via N-alkylation of N-[pyridin-2-yl-(4-chlorophenyl)] methylpiperazine with chloromethyltritylimidazole with subsequent deprotection. I were evaluated in H1 and H3 receptor binding assays with Ki for H1 ranging from 0.3-130 nM and for H3 1.7-80 nM. In another embodiment, the invention discloses pharmaceutical compns. comprising such imidazoles as well as methods of using them to treat allergy, nasal congestion, inflammatory and CNS-related diseases and others.

ACCESSION NUMBER: 2002:428870 CAPLUS

DOCUMENT NUMBER:

137:20375

TITLE:

Preparation of substituted imidazoles as dual

histamine H1 and H3 agonists or antagonists useful in

treatment of inflammatory diseases and allergic

conditions

INVENTOR(S):

Shih, Neng-Yang; Aslanian, Robert G.; Solomon, Daniel M.; Rosenblum, Stuart B.; Mutahi, Mwangi Wa; Tom, Wing C.; McCormick, Kevin D.; Piwinski, John J.; Wolin,

Ronald

PATENT ASSIGNEE(S):

SOURCE:

Schering Corporation, USA PCT Int. Appl., 61 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

```
PATENT NO.
                             KIND DATE
                                                       APPLICATION NO. DATE
                             ----
                                     -----
                                                          -----
      WO 2002044141
                                      20020606
                                                          WO 2001-US29062 20010918
                              A2
                            A3
      WO 2002044141
                                     20021107
            W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
                 CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ,
                 MD, RU, TJ, TM
            RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
                 DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                                       AU 2002-41459
      AU 2002041459
                              A5
                                     20020611
                                                                                20010918
      US 2002082278
                               A1
                                      20020627
                                                          US 2001-955383
                                                                                 20010918
      EP 1318996
                                                         EP 2001-988119
                              A2
                                      20030618
                                                                                 20010918
                 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRIORITY APPLN. INFO.:
                                                      US 2000-234039P P 20000920
                                                      WO 2001-US29062 W 20010918
```

OTHER SOURCE(S): MARPAT 137:20375

IT 433976-30-8P 433976-31-9P 433976-32-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(target compd.; prepn. of substituted imidazoles as dual histamine hl and h3 agonists or antagonists)

RN 433976-30-8 CAPLUS

CN Piperidine, 1-[3-(4-chlorophenyl)-1-oxo-3-(2-pyridinyl)propyl]-4-(1H-imidazol-4-ylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)

$$\begin{array}{c} H \\ N \\ \end{array} \begin{array}{c} CH_2 \\ \end{array}$$

•x HCl

RN 433976-31-9 CAPLUS

CN Piperidine, 1-[3-(4-chlorophenyl)-1-oxo-3-(2-pyridinyl)propyl]-4-(1H-imidazol-4-ylmethyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} H \\ N \\ CH_2 \end{array}$$

RN 433976-32-0 CAPLUS

CN Pyridine, 2-[(4-chlorophenyl)[4-(1H-imidazol-4-ylmethyl)-1-piperidinyl]methyl]- (9CI) (CA INDEX NAME)

=> d his

(FILE 'HOME' ENTERED AT 10:39:16 ON 30 SEP 2003)

	FILE	'REGIST	'RY'	ENTERE	D AT	10:39:	24 0	N 30	SEP	2003
L1	STRUCTURE UPLOADED									
L2		0 5	L1							
L3		37 5	L1	FUL						
L4	STRUCTURE UPLOADED									
L5		10 \$	L4							
L6	STRUCTURE UPLOADED									
L7		0 5	L6							
L8		3 5	L6	FUL						
	FILE	'CAPLUS	' El	VTERED	AT 1	0:44:48	ON :	30 S	EP 20	003
L9		1 5	L8							